



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : A61K 7/16	A1	(11) International Publication Number: WO 99/33437 (43) International Publication Date: 8 July 1999 (08.07.99)
(21) International Application Number: PCT/US97/24121 (22) International Filing Date: 29 December 1997 (29.12.97) (71) Applicant (for all designated States except US): THE PROCTER & GAMBLE COMPANY [US/US]; One Procter & Gamble Plaza, Cincinnati, OH 45202 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): LUHADIYA, Ashok, Premchand [IN/IN]; B/28 Uday Rekha CHS, Plot No. 12, Hanish Nager, 4 Bunglow, Andheri (W), Mumbai 400 058 (IN). GOEL, Vikram [IN/IN]; Apartment 14, 137 Jyoti Sadan, Marine Drive, Mumbai 400 020 (IN). D'SOUZA, Stanislaus, Valerain [IN/IN]; 11/Rele Building, Sayani Road, Prabhadevi, Mumbai 400 025 (IN). (74) Agents: REED, T., David et al.; The Procter & Gamble Company, 5299 Spring Grove Avenue, Cincinnati, OH 45217 (US).		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i>
(54) Title: TOOTH CLEANING COMPOSITION IN TABLET FORM (57) Abstract A toothpaste tablet is disclosed, comprising: (a) from about 20 to about 80 % of a polishing agent; (b) from about 0.2 to about 5.5 % of a thickening agent; and (c) from about 30 to about 80 % of a tableting carrier.		

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
AT	Austria	FR	France	LU	Luxembourg	SN	Senegal
AU	Australia	GA	Gabon	LV	Latvia	SZ	Swaziland
AZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
BA	Bosnia and Herzegovina	GE	Georgia	MD	Republic of Moldova	TG	Togo
BB	Barbados	GH	Ghana	MG	Madagascar	TJ	Tajikistan
BE	Belgium	GN	Guinea	MK	The former Yugoslav Republic of Macedonia	TM	Turkmenistan
BF	Burkina Faso	GR	Greece			TR	Turkey
BG	Bulgaria	HU	Hungary	ML	Mali	TT	Trinidad and Tobago
BJ	Benin	IE	Ireland	MN	Mongolia	UA	Ukraine
BR	Brazil	IL	Israel	MR	Mauritania	UG	Uganda
BY	Belarus	IS	Iceland	MW	Malawi	US	United States of America
CA	Canada	IT	Italy	MX	Mexico	UZ	Uzbekistan
CF	Central African Republic	JP	Japan	NE	Niger	VN	Viet Nam
CG	Congo	KE	Kenya	NL	Netherlands	YU	Yugoslavia
CH	Switzerland	KG	Kyrgyzstan	NO	Norway	ZW	Zimbabwe
CI	Côte d'Ivoire	KP	Democratic People's Republic of Korea	NZ	New Zealand		
CM	Cameroon			PL	Poland		
CN	China	KR	Republic of Korea	PT	Portugal		
CU	Cuba	KZ	Kazakstan	RO	Romania		
CZ	Czech Republic	LC	Saint Lucia	RU	Russian Federation		
DE	Germany	LI	Liechtenstein	SD	Sudan		
DK	Denmark	LK	Sri Lanka	SE	Sweden		
EE	Estonia	LR	Liberia	SG	Singapore		

TOOTH CLEANING COMPOSITION IN TABLET FORM

5

FIELD

The present invention relates generally to a tooth cleaning composition. More particularly, the present invention relates to a tooth cleaning composition in
10 tablet form comprising a polishing agent in combination with a tableting carrier.

BACKGROUND

A satisfactory dentifrice composition should clean and remove debris, thereby aiding the prevention of tooth decay and promoting gingival health. In
15 order to achieve these ends, it is necessary to brush with a dentifrice containing cleaning agents such as abrasives, polishing aids and therapeutic aids. The purpose of the use of these agents is to aid in removal of the film that tends to tightly adhere to the tooth enamel within minutes after eating and which, in many persons, contains pigments which color it brown or yellow.

20 Common practices to clean the teeth include "brushing" the teeth by applying cleaning products. There are various types or forms of cleaning products available, for example, pastes, gels, powders, and tablets. The paste form is a common and popular form as a tooth cleaning product and generally is provided by filling laminate tubes with the paste. However, depending on the
25 viscosity of the paste, which is generally high, it may be difficult to squeeze the last drop of the toothpaste from the tube sufficiently. The tubes may further tend to dent during the packing process or during shipment.

Tablet is a typical product form seen in various industries, especially in pharmaceuticals, because of the associated ease of manufacturing and handling,
30 e.g., storing, carrying in bags, or using. Consumers often prefer to use tooth cleaning products which are easy to handle when traveling or participating in outdoor activities such as camping, sometimes more preferably without brushing. In addition, the convenience of tablets and the fact that water may not be necessary for their use may be desirable in other situations.

A variety of approaches for making toothpaste products in tablet form have been developed. See Aberg U.S. Patents 4,753,792 and 5,057,305 disclosing a tooth cleaning tablet that requires chewing the tablet.

In general, tooth cleaning composition in tablet form contain lower levels of water than those in conventional paste form. Due to such lower concentration of water, it is believed that the stability of the tablet products in view of microbial growth, drying out, liquid separation, discoloration, and the like, is improved.

Based on the foregoing, there is a need for a toothpaste tablet having improved dissolution and disintegration properties of tablet in a liquid form rapidly in the mouth when contacted with saliva, water, or both without the need to chew the tablet or use a brush to effect cleaning of the teeth. None of the existing art provides all of the advantages and benefits of the present invention.

SUMMARY

The present invention is directed to a toothpaste tablet comprising: (a) from about 20 to about 80 % of a polishing agent; (b) from about 0.2 to about 5.5 % of a thickening agent; and (c) from about 30 to about 80 % of a tableting carrier.

These and other features, aspects, and advantages of the present invention will become better understood from a reading of the following description, and appended claims.

DETAILED DESCRIPTION

While the specification concludes with claims particularly pointing out and distinctly claiming the invention, it is believed that the present invention will be better understood from the following description.

All percentages and ratios used hereinafter are by weight of total composition, unless otherwise indicated.

All measurements referred to herein are made at 25°C unless otherwise specified.

All percentages, ratios, and levels of ingredients referred to herein are based on the actual amount of the ingredient, and do not include solvents, fillers, or other materials with which the ingredient may be combined as a commercially available product, unless otherwise indicated.

All publications, patent applications, and issued patents mentioned herein are hereby incorporated in their entirety by reference. Citation of any reference is not an admission regarding any determination as to its availability as prior art to the claimed invention.

5 "Comprising" means that other steps and other components which do not affect the end result can be added. This term encompasses the terms "consisting of" and "consisting essentially of."

The present invention relates to a toothpaste tablet comprising: (a) from about 20 to about 80 % of a polishing agent; (b) from about 0.2 to about 5.5 % of
10 a thickening agent; and (c) from about 30 to about 80 % of a tableting carrier. The tablet of the present invention provides desirable dissolution and disintegration of the tablet in a liquid form rapidly in the mouth when contacted with saliva, water, or both. The dissolved form of the tablet of the present invention is preferably characterized by a thick form such as a paste like form,
15 and can be used to clean the teeth without brushing, for example, by distributing the dissolved tablet around the inside of the mouth, such as by moving the tongue over the surface of the teeth or by swirling throughout the mouth. The tablets can also provide better cost effectiveness for manufacturing and shipment than those in paste form, which tend to dent or deform as a result of
20 having been packed into laminate tubes. The tablet of the present invention further provides improved stability of the products due to containing low levels of water.

A. Polishing agent

25 The tooth cleaning composition in tablet form of the present invention includes a polishing agent. The polishing agent generally tends to remove debris and reduce tooth decay. The polishing agent of the present invention can be any material which cleans the teeth, removes debris, and/or remove the adhering layers of bacterial film without excessively abrading dentine from the
30 teeth. These include, for example, silicas, including gels and precipitates, calcium carbonate, dicalcium orthophosphate dihydrate, calcium pyrophosphate, tricalcium phosphate, calcium polymetaphosphate, insoluble sodium polymetaphosphate, hydrated alumina, and resinous polishing materials such as particulate condensation products of urea and formaldehyde, and other such as

disclosed by Cooley et al. U.S. Patent 3,070,510, incorporated herein by reference.

Various silica polishing agents provide the unique benefits of exceptional dental cleaning and polishing performance without unduly abrading tooth enamel or dentine. Silica polishing agents are exceptionally compatible with sources of soluble fluoride and polyphosphonates. For these reasons they are preferred for use herein.

The silica polishing agents useful herein, which can be used alone or in combination with other polishing agents, generally have an average particle size ranging from between about 0.1 to about 30 microns, preferably between about 5 and about 15 microns. The silica polishing agent can be precipitated silica or silica gels such as the silica xerogels described in Pader et al. U.S. Patent 3,538,230, and DiGiulio U.S. Patent 3,862,307 both incorporated herein by reference. Preferred are the silica xerogels marketed under the tradename "Syloid" by the W. R. Grace & Company, Davison Chemical Division. Preferred precipitated silicas include those marketed by the J. M. Huber Corporation under the tradename, "Zeodent", particularly the silica polishing agent carrying the designation "Zeodent 119". These silica polishing agents are described in U.S. Patent No. 4,340,583, incorporated herein by reference.

Mixtures of these polishing agents may also be used. The amount of polishing agent herein is present at a level of from about 20% to about 80%, preferably from about 35% to about 70%.

B. Thickening agent

The tooth cleaning composition in tablet form of the present invention also includes a thickening agent. These agents can provide a desirable consistency when the toothpaste tablet of the present invention is dissolved in the mouth.

Nonlimiting examples of the thickening agents herein include, pregelatinized starch, gums such as agars, locust bean gums, guar gums, and tara gums, carrageenan, alginate, xanthan, dextran, cellulose derivatives such as sodium carboxymethyl cellulose and sodium carboxymethyl hydroxyethyl cellulose. Natural gums such as gum karaya, gum arabic, and gum tragacanth can also be used. Synthetic silicates such as colloidal magnesium aluminum silicate or finely divided silica can be used as part of the thickening agent to further improve

texture. The thickening agent is preferably present from about 0.2% to about 5.5% by weight of the total composition.

C. Tableting carrier

5 The toothpaste tablet of the present invention includes a tableting carrier. "Tableting carrier", as used herein, means a material which is used for making tablets that provide desirable dissolution and disintegration in the mouth. The tableting carrier is selected depending upon its compatibility with the other ingredients, especially the polishing agent, and the desired characteristic of the product. The tableting carrier is present at an effective level, preferably at a level of from about 20% to about 80%, more preferably from about 38% to about 65% by weight.

The tableting carriers useful herein include those selected from the group consisting of sugar, sugar alcohols, and mixtures thereof. Nonlimiting examples of sugars useful herein include lactose, glucose, maltodextrins, and sucrose. 15 Sugar alcohols useful herein include sorbitol, xylitol, mannitol and maltitol. Preferably, the tableting carrier herein is sugar alcohol in combination with lower level of sugar, preferably from about 5 to about 50 % of sugar and from about 5 to about 60 % of sugar alcohol. A preferred combination of the tableting carriers 20 in the present invention is mannitol with a lower level of sucrose.

The tableting carrier of the present invention may further include a binding agent, if needed. Inclusion of the binding agent is particularly useful when a tableting carrier, such as mannitol, may have a limited ability to bind the components used for the composition. It is believed that insufficiencies in 25 binding ability tend to cause tablets to break off into two pieces along the length during the manufacturing process. This splitting of the tablet is commonly referred to as "capping." The levels and types of binding agent are selected depending upon the character of the carriers, compatibility with other components, and desired characteristic of the final product.

30 In addition, it is recognized that some tableting carriers of the present invention may also have properties as a binding agent for making tablets. Most of tableting carriers herein, preferably sugar, may be useful for providing improved binding properties of the toothpaste tablet to prevent the tablet from breaking into two pieces.

Examples of useful binding agents other than those described as tableting carriers above include starches such as starch paste and pregelatinized starch, polyvinylpyrrolidone, cellulose derivatives, gelatin, gums, and mixtures thereof. In certain embodiments, the binding agent and the tableting carrier may be made of the same material. Alternatively, the binding agent and the tableting carrier may be altogether different.

The binding agents may be present in an effective amount, preferably from about 0.1% to about 5% by weight, more preferably from about 0.5% to about 3%.

D. Therapeutic agent

The toothpaste tablet of the present invention can further include one or more therapeutic agents as dental actives. "Therapeutic agents" herein means agents for prevention and treatment of dental caries or periodontal diseases of the soft tissues of the oral cavity. The therapeutic agents useful for the present invention are selected from the group consisting of an anticaries agent, an anticalculus agent, an antimicrobial agent, an anti-inflammatory agent, and mixtures thereof.

1. Anticaries agent

Typical examples of anticaries agents as therapeutic agents herein include, but are not limited to, a water-soluble fluoride ion source. The number of such fluoride ion sources is great, and see Norris et al. U.S. Patent 2,946,735, Briner & Widder U.S. Patent 3,535,421, and Widder et al. U.S. Patent 3,678,154, all of which are incorporated herein by reference. Preferred fluoride ion source materials include: sodium fluoride, potassium fluoride, indium fluoride, stannous fluoride, and sodium monofluorophosphate and mixtures thereof. Sodium fluoride is the preferred fluoride ion source. The amount of the fluoride ion source in the compositions of the present invention, if present, is preferably sufficient to provide from about 0.005% to about 0.35%, more preferably from about 0.05% to about 0.3% of fluoride ions in the compositions.

2. Anticalculus agent

The toothpaste tablet of the present invention can include one or more anticalculus agents as the therapeutic agent, on the condition that they are compatible with the other components of the toothpaste tablets. Anticalculus agents which may be useful herein include, but are not limited to,

diphosphonates such as 1 -azocycloheptane-2,2-diphosphonate (AHP) and ethane-1-hydroxy-1,1-diphosphonate (EHDP), sodium zinc citrate, phosphocitrate, tripolyphosphate, and linear polycarboxylate (LPC); pyrophosphates or polyphosphates, see Parran & Sakkab U.S. Patent 4,590,066
5 (e.g. tetrasodium pyrophosphate, tetrapotassium pyrophosphate, and dihydrogen disodium pyrophosphate); polyacrylates and other polycarboxylates, see Shedlovsky U.S. Patent 3,429,963, and Chang U.S. Patent 4,304,766; and Benedict & Sunberg U.S. Patent 4,661,341; polyepoxysuccinates, see Benedict U.S. Patent 4,846,650; ethylenediaminetetraacetic acid, see British Patent No.
10 490,384; nitrilotriacetic acid and related compounds, see Widder & Briner U.S. Patent 3,678,154; polyphosphonates see Francis U.S. Patent 3,737,533, Ploger U.S. Patent 3,988,443, and Degenhardt & Kozikowski U.S. Patent 4,877,603; all of these patents are incorporated herein by reference. If present, the anticalculus agents generally comprise from about 0.2% to about 13%, preferably
15 from about 0.4% to about 6%, of the compositions of the present invention. Preferred anticalculus agents are pyrophosphates.

3. Antimicrobial agent

"Antimicrobial agents", as used herein, include compounds which can be employed as antiplaque agents and antibacterial agents. Antimicrobial agents
20 herein can be present in the toothpaste tablet on the condition that they are compatible with the other ingredients and/or compounds included. Such agents may be noncationic and substantially water insoluble; that is, solubility is less than about 1% by weight in water at 25°C.

Nonlimiting examples of the antimicrobial agents herein include triclosan, 2,4,4'-
25 trichloro-2'-hydroxydiphenyl ether, as described The Merck Index, 11th Ed. (1989), p. 1520 (entry No. 9573); in U.S. Pat. No. 3,506,720; and in Europe Patent Application number 0,251,591 of Beecham Group, PLC, published Jan. 7, 1988, chlorhexidine, (Merck Index., No. 2090), alexidine (Merck Index, No. 222); hexetidine (Merck Index, No. 4624); sanguinarine (Merck Index, No. 8320);
30 benzalkonium chloride (Merck Index, No. 1066); salicylanilide (Merck Index., No. 8299); domiphen bromide (Merck Index, No. 3411); cetylpyridinium chloride, (CPC) (Merck Index, No. 2024); tetradecylpyridinium chloride, (TPC); N-tetradecyl-4-ethylpyridinium chloride (TDEPC); octenidine; delmopinol, octapinol, and other piperidino derivatives; nicin preparations; zinc/stannous ion agents;
35 antibiotics such as augmentin, amoxicillin, tetracycline, doxycycline, minocycline,

and metronidazole; and peroxides, such as cylum peroxide, hydrogen peroxide, and magnesium monoperoxalate and its analog, see Sampathkumar U.S. Patent 4,670,252; and analogs and salts of the above antimicrobial agents.

Also desirable for inclusion herein are stannous salts such as stannous pyrophosphate and stannous gluconate and antimicrobials such as quaternary ammonium salts, such as cetyl pyridinium chloride and tetradecylethyl pyridinium chloride, bis-biquanide salts, copper bisglycinate, nonionic anti microbial salts and flavor oils. See Norris et al. U.S. Patent 2,946,725 and Gieske et al. U.S. Patent 4,051,234.

If present, the antimicrobial agents may comprise from about 0.01% to about 6%, preferably from about 0.1% to about 5% by weight of the compositions of the present invention.

4. Anti-inflammatory agents

Typical examples of the anti-inflammatory agents include herein, but are not limited to, aspirin, acetaminophen, ibuprofen, naproxen, indomethacin, piroxicam, meclofenamate sodium, tenidap, tebufelone, benoxaprofen, flurbiprofen, ketoprofen, ketorolac, etodolac, fenoprofen, fenbufen, indoprofen, piroprofen, carprofen, oxaprozin, pranoprofen, miroprofen, tioxaprofen, suprofen, alminoprofen, tiaprofen, their pharmaceutically-acceptable salts, and mixtures thereof. The typical concentrations of anti-inflammatory agents in the dental compositions of the present invention are from about 0.004% to about 20%, preferably from about 0.02% to about 4%, more preferably from about 0.04% to about 2%, and most preferably from about 0.2% to about 0.8% of the compositions of the present invention.

E. Oral carrier

The toothpaste tablet of the present invention may further include an oral carriers. "Oral carrier", as used herein, means one or more compatible solid or liquid substances which are suitable for oral administration to a human. The oral carriers must be of sufficiently high purity and sufficiently low toxicity to render them suitable for administration to human beings. The oral carrier herein include a surfactant, an effervescent agent, a humectant, a tableting aid, a sweetening agent, a flavoring agent, a coloring agent, a preservative, a cooling agent, a buffering agent, and mixtures thereof.

1. Surfactant

The toothpaste tablet of the present invention may include a surfactant as the oral carrier. Surfactants used for emulsification herein are those which are reasonably stable and foam throughout a wide pH range. The surfactants useful herein include anionic surfactants; nonionic surfactants produced by the
5 condensation of alkylene oxide groups (hydrophilic in nature) with an organic hydrophobic such as aliphatics or alkylaromatics in nature; cationic such as aliphatic quaternary ammonium compounds having one long alkyl chain containing from about 8 to 18 carbons; and zwitterionic and amphoteric synthetic
10 surfactants such as derivatives of aliphatic quaternary ammonium, phosphonium, and sulfonium compounds, preferably those sodium and potassium salts. See Agricola et al, U.S. Patent 3,959,458, Haefele U.S. Patent 3,937,807, and Gieske et al, U.S. Patent 4,051,234, incorporated herein by reference.

Preferred surfactants useful herein are anionic surfactants including the water-soluble salts, sodium or potassium salts of alkyl sulfates having from 10 to
15 18 carbon atoms in the alkyl radical and sulfonated monoglycerides of fatty acids having from 10 to 18 carbons. Sodium lauryl sulfate and sodium coconut monoglyceride sulfonates are examples of anionic surfactants of this type. Mixtures of anionic surfactants can also be utilized.

Betaine surfactants can also be used for the composition of the present
20 invention. The betaine surfactants herein include alkyl dimethyl betaines include decyl betaine or 2-(N-decyl-N,N-dimethylammonio) acetate, coco betaine or 2-(N-coc-N, N-dimethyl ammonio) acetate, myristyl betaine, palmityl betaine, lauryl betaine, cetyl betaine, stearyl betaine, etc. The amidobetaines are exemplified by cocoamidoethyl betaine, cocoamidopropyl betaine, lauramidopropyl betaine
25 and the like. The betaines of choice are preferably the cocoamidopropyl betaine and, more preferably, the lauramido propel betaine. See Polefka et al. U.S. Patent 5,180,577.

If present, the surfactants are generally used at levels of from about 0.035% to about 7.0% by weight.

30 2. Effervescent agent

The toothpaste tablet of the present invention may include an effervescent agent to provide bubbles which are sometimes desired for aesthetic purposes. "Effervescent agent" herein means a material that provides effervescence by the
35 reaction of a carbonate source with an acidic source, for example, in the combination of a carbonate salt and a carboxylic acid. Any ingredients which

would be useful conventionally as an effervescence agent in the pharmaceutical area may be acceptable herein. Preferably, the carbonate sources herein include calcium carbonate, sodium carbonate, and sodium bicarbonate. Preferred acid sources useful herein include a citric acid, and a malic acid. The effervescent agent may be present at levels of from about 0.5 to about 20% by weight.

3. Humectant

It may also be desirable to include some humectant material in the toothpaste tablet of the present invention to keep it from hardening upon exposure to air and to give the tablet a moist feel to the mouth. Suitable humectants include polyethylene glycol, sorbitol, xylitol, other edible polyhydric alcohols, and mixtures thereof, at a level of from about 0% to about 70%, preferably from about 2% to about 55%, by weight.

4. Tableting aids

Tableting aids can be added in order to facilitate forming the toothpaste tablets. Herein, "tableting aids" refers to an ingredient that is generally added to the granules in small quantities, to provide flowability to the granules, to reduce friction, and/or to ease removal of the tablets from the tableting machine. The tableting aids useful herein include, for example, magnesium stearate, stearic acid, aerosol, talc, and mixtures thereof. The tableting aid of the compositions of the present invention, is preferably present in an amount sufficient to prevent the tablet from sticking to the machine and improve flow characteristic of the compression mixture. The tableting aids are present at levels from about 2% to about 8%.

5. Sweetening agents

Sweetening agents can be added to the present compositions. These include aspartame, acesulfame, sodium saccharin, dextrose, sucrose, lactose, maltose, xylitol, levulose, thaumatin, dihydrochalcones, sodium cyclamate and mixtures thereof. Sweetening agents are generally useful herein the toothpaste tablet at levels of from about 0.05% to about 2%.

6. Flavoring agents

Flavoring agents can also be added to the toothpaste tablet of the present invention. Examples of flavoring agents useful in the present invention include oil of peppermint, oil of sassafras, clove bud oil, peppermint, menthol, anethole, thymol, methyl salicylate, eucalyptol, cassia, 1-menthyl acetate, sage, eugenol,

parsley oil, oxanone, oil of wintergreen, alpha-irison, oil of spearmint, marjoram, lemon, orange, propenyl guaethol, cinnamon, and mixtures thereof. Flavoring agents are generally used in the toothpaste tablet at levels of from about 0.01% to about 5% by weight of the composition.

5 7. Coloring agent

The composition may further include a coloring agent. Titanium dioxide which is a white pigment in powder form may also be added. The coloring agent including titanium dioxide may be present at an effective level from about 0.025% to about 1%.

10 8. Preservatives

Other oral carrier of the present invention may included are preservatives. The preservatives prevent microbial growth in the compositions. Suitable preservatives include methylparaben, propylparaben, and benzoates. The preservatives generally comprise from about 0% to about 5%, preferably from about 0.1% to about 2%.

15 9. Cooling agent

Suitable cooling agents are those described in Watson et al. U.S. Patent 4,136,163, Rowsell et al. U.S. Patents 4,032,661 and 4,230,688, and Grub et al. U.S. Patent 5,266,592, all of which are herein incorporated by reference. Particularly preferred cooling agents include N-ethyl-p-menthane-3-carboxamide outlined by the above incorporated U.S. Patent 4,136,163 and N,2,3-trimethyl-2-isopropylbutanamide which is stated by the above incorporated U.S. Patent 4,230,688. Another particularly preferred cooling agent is 3-1-menthoxypropane 1,2-diol. See Amano et al. U.S. Patent 4,459,425. The cooling agent generally
25 comprise from about 0% to about 5%, preferably from about 0.1% to about 2%.

10. Buffering agent

The toothpaste tablet herein may also include a buffering agent. Buffering agents, as used herein, refer to agents that can be used to adjust the pH of the compositions to a range of about pH 7 to about pH 9. These agents include
30 mono and trisodium phosphate, sodium carbonate, tris(hydroxymethyl)aminomethane, tetra and disodium pyrophosphate and tetrapotassium pyrophosphate, at a level of from about 0.5% to about 10%.

The resulting toothpaste tablets dissolve and/or disintegrate smoothly when contacted with saliva, water, or both and produce little to no gritty sensation
35 in the user's mouth.

F. Method of making tablets

The toothpaste tablets of the present invention can be produced by any method useful for forming conventional tablets known in the art. These conventional methods include granulating methods: either wet or dry granulating method, preferably wet granulating. Depending on the properties of the ingredients (e.g., polishing agents, tableting carriers, flavors, coloring agents, and the like) to be formulated into granules, one method may provide a more favorable end product over the other method. The wet granulation method is widely used and usually produces the most satisfactory results in tablets. See E.J. de Jong; "The preparation of microgranulates, an improved tableting technique," *Pharmaceutical Weekblad*, 104(23), pages 469-474, 1969 and E.J. de Jong, U.S. Patent 3,266,992.

Direct compression without granulation step may also be chosen for the present composition, as long as producing non-gritty tablets does not cause capping.

In one embodiment, a method for making a toothpaste tablet of the present invention comprises:

- (1) adding polishing agents and tableting carriers such as sugar, sugar alcohol, and any of oral carriers (e.g., coloring agent), if needed, to make granules;
- (2) passing the granules through #10 mesh;
- (3) drying the sieved granules by conventional drying techniques;
- (4) sieving again the dried granules through #14 mesh;
- (5) mixing the granules of step (4) with oral carriers other than those of step(1) (e.g., thickening agent, sweetening agent, flavour, tableting aids); and
- (6) compressing the mixture of step (5) to form tablets by conventional method.

G. Method of use

The toothpaste tablets of the present invention can be used to clean the teeth by:

- (1) putting the toothpaste tablet into the mouth;
- (2) keeping the toothpaste tablet for a few moments until substantially dissolved, and distributing the dissolved tablet around the inside of the mouth so

as to contact the teeth, for example by moving the tongue on to the surface of the teeth to clean them.

EXAMPLES

5 The following examples further describe and demonstrate embodiments within the scope of the present invention. The examples are given solely for the purpose of illustration and are not to be construed as limitations of the present invention, as many variations thereof are possible without departing from the spirit and scope of the invention.

10 The components shown below can be prepared by any conventional method known in the art. Suitable methods and formulations are as follows:

EXAMPLE I

The following representative example of a toothpaste tablet composition of the present invention is made by conventional process:

	Component	%by weight
5	Mannitol	47.00
	Calcium Carbonate	27.00
	Pregel Starch	0.50
	Aspartame	0.35
	FD & C Blue # 1	0.01
10	Sodium fluoride	0.24
	Flavour	1.10
	Sodium alkyl sulphate	1.00
	Potassium citrate	2.10
	Xanthan gum	2.85
15	Titanium dioxide	0.50
	Sodium carboxymethyl cellulose	2.65
	Synthetic silicate	0.20
	Sucrose	10.00
	Magnesium stearate	2.50
20	Talc	2.00

EXAMPLE II

The following representative example of a toothpaste tablet composition of the present invention is made by conventional process:

	Component	%by weight
5	Sorbitol	10
	Mannitol	46.7
	Preciitated Silica	30
	Sodium Alkyl Sulphate	1.0
	Potassium citrate	1.0
10	Cetyl Pyridinium chloride	0.32
	Sodium Saccharine	0.13
	Xanthan gum	0.1
	Sodium carboxymethyl cellulose	0.15
	Synthetic Silicate	4.6
15	Flavour	1.5
	Magnesium stearate	2.50
	Talc	2.00

20 The embodiments disclosed and represented by the present examples have many advantages. For example, they can provide improved dissolution and disintegration properties of tablet in a liquid form rapidly in the mouth when contacted with saliva, water, or both without the need to chew the tablet or use a brush to effect cleaning of the teeth.

25 It is understood that the foregoing detailed description of examples and embodiments of the present invention are given merely by way of illustration, and that numerous modifications and variations may become apparent to those skilled in the art without departing from the spirit and scope of the invention; and such apparent modifications and variations are to be included in the scope of the appended claims.

WHAT IS CLAIMED IS:

1. A toothpaste tablet comprising:
 - (a) from about 20 to about 80 % of a polishing agent;
 - (b) from about 0.2 to about 5.5 % of a thickening agent; and
 - (c) from about 30 to about 80 % of a tableting carrier.
2. The toothpaste tablet of Claim 1, wherein the tableting carrier is selected from the group consisting of sugar, sugar alcohol, and mixtures thereof.
3. The toothpaste tablet of Claim 2, wherein the toothpaste tablet further comprises a therapeutic agent selected from the group consisting of an anticaries agent, an anticalculus agent, an antimicrobial agent, an anti-inflammatory agent, and mixtures thereof.
4. The toothpaste tablet of Claim 3, wherein the toothpaste tablet further comprises an oral carrier selected from the group consisting of a surfactant, an effervescent agent, a humectant, a tableting aid, a sweetening agent, a flavoring agent, a coloring agent, a preservative, a cooling agent, a buffering agent, and mixtures thereof.
5. The toothpaste tablet of Claim 4, wherein the toothpaste tablet further comprises a effervescent salt derived from a carbonate source and an acidic source.
6. The toothpaste tablet of Claim 2, wherein the tableting carrier comprises:
 - (a) from about 5 to about 50 % of sugar; and
 - (b) from about 5 to about 60 % of sugar alcohol.
7. A method for cleaning teeth by putting the toothpaste tablet of Claim 1 into the mouth, wherein the toothpaste tablet is substantially dissolved when contacted with saliva, water, or both, thereby changing the form into liquid.

INTERNATIONAL SEARCH REPORT

al Application No

US 97/24121

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 A61K7/16

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 3 962 417 A (HOWELL, C.) 8 June 1976 see claim 1; example 1	1,3-5,7
X	US 3 431 339 A (GYARMATHY, K. W. ET AL.) 4 March 1969 see claims 1,2; example 1	1,2,4,7
X	GB 2 163 348 A (DENTAB UK) 26 February 1986 cited in the application see page 1, line 89-92; claims 1-4; example 1	1-5,7

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

13 August 1998

Date of mailing of the international search report

26/08/1998

Name and mailing address of the ISA
European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Beyss, E

INTERNATIONAL SEARCH REPORT

information on patent family members

International Application No

PCT/US 97/24121

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 3962417	A	08-06-1976	NONE	
US 3431339	A	04-03-1969	NONE	
GB 2163348	A	26-02-1986	US 5057305 A US 4753792 A	15-10-1991 28-06-1988